

05/14/2006 10726550b.trn

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT  
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist  
visualization results  
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN  
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added  
NEWS 7 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes  
NEWS 9 MAR 08 X.25 communication option no longer available after June 2006  
NEWS 10 MAR 22 EMBASE is now updated on a daily basis  
NEWS 11 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL  
NEWS 12 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC  
thesaurus added in PCTFULL  
NEWS 13 APR 04 STN AnaVist \$500 visualization usage credit offered  
NEWS 14 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced  
NEWS 15 APR 12 Improved structure highlighting in FQHIT and QHIT display  
in MARPAT  
NEWS 16 APR 12 Derwent World Patents Index to be reloaded and enhanced during  
second quarter; strategies may be affected  
NEWS 17 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records  
NEWS 18 MAY 11 KOREAPAT updates resume  
  
NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.  
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT  
<http://download.cas.org/express/v8.0-Discover/>  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

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\* \* \* \* \*

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:33:56 ON 14 MAY 2006

=>

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THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

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Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:34:07 ON 14 MAY 2006

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

DICTIONARY FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*

\* The CA roles and document type information have been removed from \*  
 \* the IDE default display format and the ED field has been added, \*  
 \* effective March 20, 2005. A new display format, IDERL, is now \*  
 \* available and contains the CA role and document type information. \*  
 \* \*  
 \*\*\*\*\*

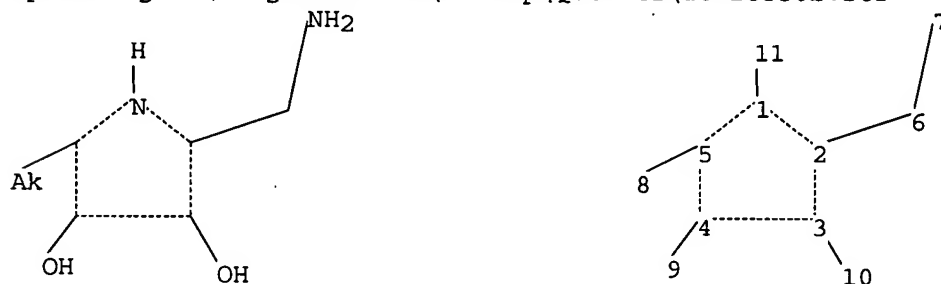
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10726550b.str

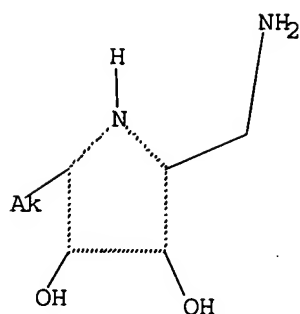


chain nodes :  
 6 7 8 9 10 11  
 ring nodes :  
 1 2 3 4 5  
 chain bonds :  
 1-11 2-6 3-10 4-9 5-8 6-7  
 ring bonds :  
 1-2 1-5 2-3 3-4 4-5  
 exact/norm bonds :  
 1-2 1-5 2-3 3-4 3-10 4-5 4-9 5-8 6-7  
 exact bonds :  
 1-11 2-6  
 isolated ring systems :  
 containing 1 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
 10:CLASS 11:CLASS

L1 STRUCTURE UPLOADED

=> d 11  
 L1 HAS NO ANSWERS  
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:34:22 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 83 TO ITERATE

100.0% PROCESSED 83 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1114 TO 2206

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:34:28 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1724 TO ITERATE

100.0% PROCESSED 1724 ITERATIONS

SEARCH TIME: 00.00.01

21 ANSWERS

L3 21 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'HCAPLUS' ENTERED AT 12:34:34 ON 14 MAY 2006

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FILE COVERS 1907 - 14 May 2006 VOL 144 ISS 21  
FILE LAST UPDATED: 12 May 2006 (20060512/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 14 L3

=> s 14 and py<=2001

21818811 PY<=2001

L5 9 L4 AND PY<=2001

=> d 15 ibib abs hitstr tot

L5 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:330838 HCAPLUS

DOCUMENT NUMBER: 135:122363

TITLE: Powerful probes for glycosidases novel, fluorescently tagged glycosidase inhibitors

AUTHOR(S): Hermetter, Albin; Scholze, Hubert; Stutz, Arnold E.; Withers, Stephen G.; Wrodnigg, Tanja M.

CORPORATE SOURCE: Institut fur Biochemie der Technischen Universitat Graz, Graz, A-8010, Austria

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(10), 1339-1342

~~CODEN: BMCLE8; ISSN: 0960-894X~~

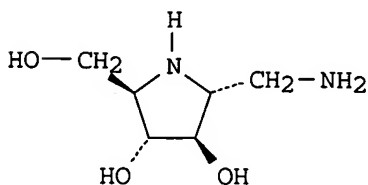
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:122363

GI



AB 1-Amino-1,2,5-trideoxy-2,5-imino-D-mannitol(I) was fluorescently tagged by reaction with dansyl chloride at N-1 or by attachment of a dansyl amide bearing spacer to this center. Comps. obtained are highly potent inhibitors of  $\beta$ -glucosidase exhibiting  $K_i$  values in the single figure nanomolar range. The 1-N-dansyl substituted inhibitor was successfully exploited for binding studies with  $\beta$ -glucosidase from Agrobacterium sp. employing fluorescence spectrometric methods.

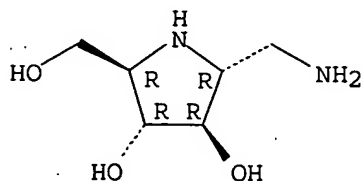
IT 194288-65-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and evaluation of fluorescently tagged stereo-specific

pyrrolidine derivs. as  $\beta$ -glucosidase inhibitors)  
 RN 194288-65-8 HCAPLUS  
 CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R) -  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

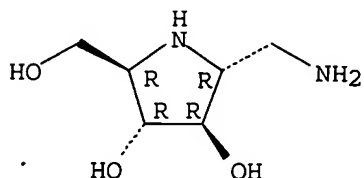
L5 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:279046 HCAPLUS  
 DOCUMENT NUMBER: 135:133923  
 TITLE: Novel, lipophilic derivatives of 2,5-dideoxy-2,5-imino-D-mannitol (DMDP) are powerful  $\beta$ -glucosidase inhibitors  
 AUTHOR(S): Wrodnigg, T. M.; Withers, S. G.; Stutz, A. E.  
 CORPORATE SOURCE: Glycogroup, Institut für Organische Chemie, Technische Universität Graz, Graz, A-8010, Austria  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(8), 1063-1064  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 135:133923

AB Novel derivs. of the d-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol bearing lipophilic aliphatic or aromatic amides attached to C-1 have been found to inhibit  $\beta$ -glucosidase from Agrobacterium sp. in the nanomolar range. One of them, a coumarin derivative, ranks amongst the most active compds. in the class of reversible glycosidase inhibitors of the iminoalditol type. Novel 1-N-acyl and 1-N-sulfonyl derivs. of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol exhibiting  $K_i$  values in the nanomolar range are reported.

IT 194288-65-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation and structure activity relations of novel, lipophilic derivs. of dideoxyiminomannitol as  $\beta$ -glucosidase inhibitors)

RN 194288-65-8 HCAPLUS  
 CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R) -  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:787884 HCAPLUS

DOCUMENT NUMBER: 134:71810

TITLE: Biologically active 1-aminodeoxy and 1-O-alkyl derivatives of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol

AUTHOR(S): Wrodnigg, Tanja M.; Gaderbauer, Walter; Greimel, Peter; Hausler, Herwig; Sprenger, Friedrich K.; Stutz, Arnold E.; Virgona, Chris; Withers, Stephen G.

CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria

SOURCE: Journal of Carbohydrate Chemistry (2000), 19(8), 975-990

CODEN: JCACDM; ISSN: 0732-8303

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:71810

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-(N,N-dibenzyl)amino-1,5-dideoxy-D-fructopyranose, 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and in excellent overall yield. Likewise, other amines were employed to introduce extended side chains ultimately suitable for attachment of the inhibitor to solid supports. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force. A range of selected chain extended analogs was prepared by acylation of N-1. Inhibitors obtained exhibit  $K_i$ -values with D-glucosidases in the micromolar range. Interestingly, 1-N-acylation resulted in superior inhibitory activities, as did the addition of a hexyl chain.

IT 194288-65-8P

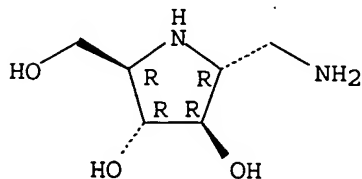
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biol. active aminodeoxy and alkyl aminotrideoxyiminomannitol derivs. of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol)

RN 194288-65-8 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:125861 HCAPLUS  
DOCUMENT NUMBER: 132:237302  
TITLE: Synthesis and evaluation as glycosidase inhibitors of  
2,5-imino-D-glucitol and 1,5-imino-D-mannitol related  
derivatives  
AUTHOR(S): McCort, Isabelle; Fort, Sebastien; Dureault, Annie;  
Depezay, Jean-Claude  
CORPORATE SOURCE: Universite Rene Descartes, Laboratoire de Chimie et  
Biochimie Pharmacologiques et Toxicologiques, associe  
au CNRS, Paris, 75270, Fr.  
SOURCE: Bioorganic & Medicinal Chemistry (2000),  
~~8(1), 135-143~~  
CODEN: BMECEP; ISSN: 0968-0896  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

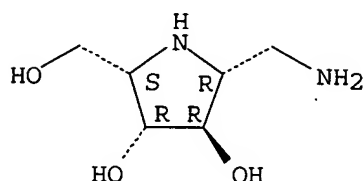
AB Selectively functionalized 2,5-imino-D-glucitol and 1,5-imino-D-mannitol  
derivs. were synthesized and tested as precursors of hydrolytically  
resistant pseudo-disaccharides. Among them N-acetyl-6-amino-6-deoxy-2,5-  
imino-D-glucitol and N-acetyl-6-amino-6-deoxy-1,5-imino-D-mannitol were  
found to be potent and specific inhibitors against  $\beta$ -D-glucosidase  
and  $\alpha$ -L-fucosidase, resp.

IT 194288-74-9P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(synthesis and evaluation as glycosidase inhibitors of iminoglucitol  
and iminomannitol related derivs.)

RN 194288-74-9 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5S)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:750948 HCAPLUS  
DOCUMENT NUMBER: 132:166484  
TITLE: An approach to combinatorial library generation of  
galactofuranose mimics as potential inhibitors of  
mycobacterial cell wall biosynthesis: synthesis of a  
peptidomimetic of uridine 5'-diphosphogalactofuranose  
(UDP-galf)  
AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Pickering, Lea;  
Fleet, George W. J.  
CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford Center for Molecular  
Sciences, Oxford, OX1 3QY, UK  
SOURCE: Tetrahedron Letters (1999), 40(49),



8689-8692

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An approach to the synthesis of amide libraries based upon an  $\alpha$ -iminogalactofuranose template as potential inhibitors of mycobacterial cell wall biosynthesis is described. The synthesis of peptide analogs of uridine 5'-phosphogalactofuranose (UDP-Galf) is also described.

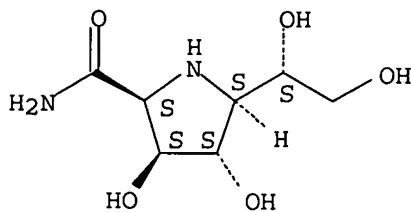
IT 258501-98-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and evaluation of activity of as UDP-galactose mutase inhibitor)

RN 258501-98-3 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:358812 HCAPLUS

DOCUMENT NUMBER: 129:136393

TITLE: Synthesis of ester- and amide-linked pseudo-azadisaccharides via coupling of D-glucose with 6-amino-6-deoxy-2,5-imino-D-glucitol

AUTHOR(S): McCort, Isabelle; Dureault, Annie; Depezay, Jean-Claude

CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques associe au CNRS, Universite Rene Descartes, Paris, 75270, Fr.

SOURCE: Tetrahedron Letters (1998), 39(25), 4463-4466

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Hydrolytically-resistant pseudodisaccharides incorporating an azafuranose have been prepared by coupling 6-amino-2,5-imino-D-glucitol derivs. with D-glucose, either through an ester or an amide bond. Synthesis of the azasugar templates was achieved by nucleophilic opening of a C2 sym. bis-aziridine deriving from D-mannitol.

IT 210479-79-1P 210479-81-5P 210479-83-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of ester- and amide-linked pseudoazadisaccharides via coupling

05/14/2006

10726550b.trn

of glucose with aminodeoxyiminoglucitol)

RN 210479-79-1 HCAPLUS

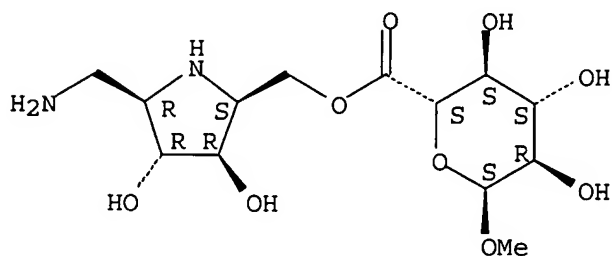
CN  $\alpha$ -D-Glucopyranosiduronic acid, methyl, [(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 210479-78-0

CMF C13 H24 N2 O9

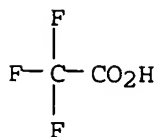
Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 210479-81-5 HCAPLUS

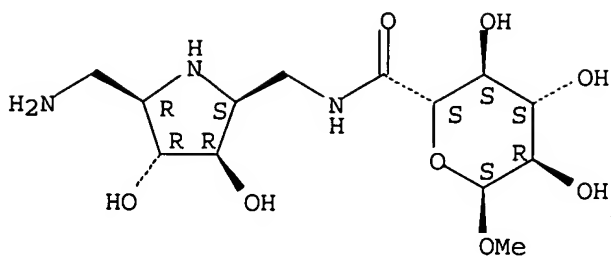
CN  $\alpha$ -D-Glucopyranosiduronamide, methyl N-[[[(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 210479-80-4

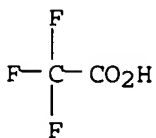
CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

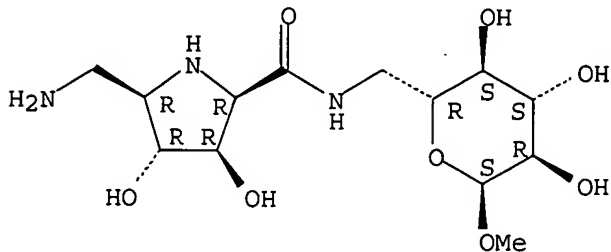


RN 210479-83-7 HCAPLUS  
CN  $\alpha$ -D-Glucopyranoside, methyl 6-[[[(2R,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]-6-deoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

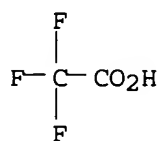
CRN 210479-82-6  
CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:530913 HCAPLUS

DOCUMENT NUMBER: 127:190944

TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose

AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.

CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria

SOURCE: Tetrahedron Letters (1997), 38(31), 5463-5466

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.

IT 194288-65-8P

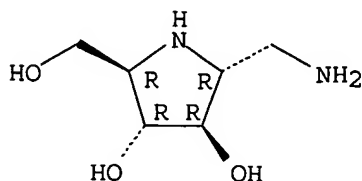
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminotrideoxyiminomannitol via an Amadori rearrangement of azidodeoxyglucofuranose)

RN 194288-65-8 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



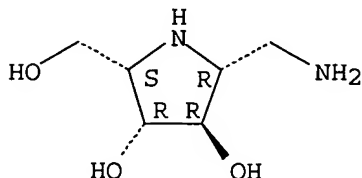
IT 194288-74-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of aminotrideoxyiminomannitol via an Amadori rearrangement of  
azidodeoxyglucofuranose)

RN 194288-74-9 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5S)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:433855 HCAPLUS

DOCUMENT NUMBER: 122:291430

TITLE: Synthesis and Evaluation of Homoaza Sugars as  
Glycosidase Inhibitors

AUTHOR(S): Wong, Chi-Huey; Provencher, Louis; Porco, John A.,  
Jr.; Jung, Sang-Hun; Wang, Yi-Fong; Chen, Lihren;  
Wang, Ruo; Steensma, Darryl H.

CORPORATE SOURCE: Department of Chemistry, Scripps Research Institute,  
La Jolla, CA, 92037, USA

SOURCE: Journal of Organic Chemistry (1995), 60(6),  
1492-501

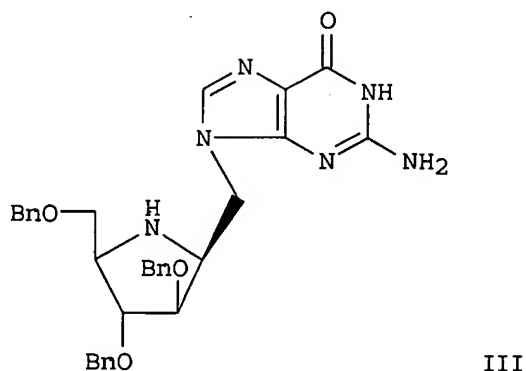
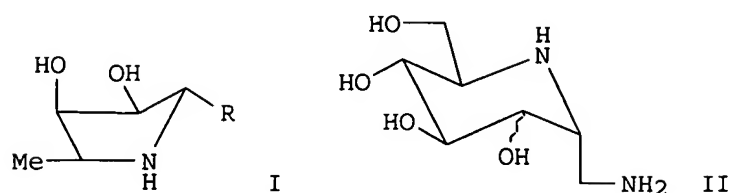
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB In an effort to develop transition-state mimetics of the glycosidase-catalyzed reaction, five- and six-membered azasugars and their homo-analogs were prepared and tested as inhibitors of glycosidases. Inhibition studies indicate that the fucosyl cation-like, five-membered imine and its reduced form I (R = H) are potent inhibitors of  $\alpha$ -fucosidase from bovine kidney with resp.  $K_i$  values of 160 nM and 2  $\mu$ M. The five-membered homoaminoaza sugar I (R = CH<sub>2</sub>NH<sub>2</sub>) is also a potent inhibitor of the enzyme ( $K_i = 1.9 \times 10^{-6}$  M), while the glucose and mannose-like six-membered homoaminoaza sugars II are less potent than the corresponding 1-deoxyaza sugars as inhibitors of  $\alpha$ -glucosidase and  $\alpha$ -mannosidase, resp. The primary amino group was placed in an attempt to introduce addnl. electrostatic interactions in the active site. The inhibitory activities are, however, in the high  $\mu$ M range. Synthesis of homoaza sugars structurally related to a disaccharide and a nucleoside III is also described.

IT **162895-60-5P**

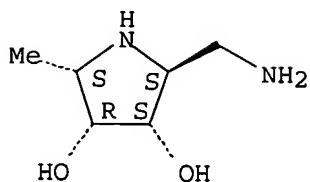
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and evaluation of homoaza sugars as glycosidase inhibitors)

RN 162895-60-5 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-methyl-, monohydrochloride, [2S-(2 $\alpha$ ,3 $\beta$ ,4 $\beta$ ,5 $\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

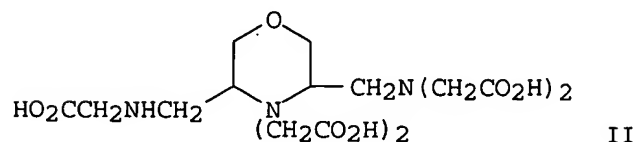
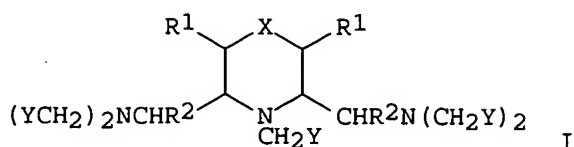


● HCl

L5 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1991:101739 HCAPLUS  
 DOCUMENT NUMBER: 114:101739  
 TITLE: Preparation of heterocyclic medical chelating agents and chelates  
 INVENTOR(S): Almen, Torsten; Berg, Arne; Dugstad, Harald; Klaveness, Jo; Krautwurst, Klaus Dieter; Rongved, Pal  
 PATENT ASSIGNEE(S): Cockbain, Julian Roderick Michaelson, UK; Nycomed A/S  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9008138	A1	19900726	WO 1990-EP79	19900115 <--
W: AU, CA, FI, GB, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2045539	AA	19900714	CA 1990-2045539	19900115 <--
AU 9049573	A1	19900813	AU 1990-49573	19900115 <--
AU 646795	B2	19940310		
EP 452392	A1	19911023	EP 1990-901813	19900115 <--
EP 452392	B1	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04502619	T2	19920514	JP 1990-502171	19900115 <--
JP 2953670	B2	19990927		
AT 121079	E	19950415	AT 1990-901813	19900115 <--
ES 2071089	T3	19950616	ES 1990-901813	19900115 <--
NO 9102749	A	19910712	NO 1991-2749	19910712 <--
NO 177783	B	19950814		
NO 177783	C	19951122		
FI 96416	B	19960315	FI 1991-3388	19910712 <--
FI 96416	C	19960625		
US 5348994	A	19940920	US 1991-690975	19910724 <--
US 5439668	A	19950808	US 1994-235882	19940502 <--
PRIORITY APPLN. INFO.:			GB 1989-719	A 19890113
			WO 1990-EP79	A 19900115
			US 1991-690975	A3 19910724

OTHER SOURCE(S): MARPAT 114:101739  
 GI



AB Title compds. I [X = bond, O, S, R1HC, R3N, R1, R2 = H, (substituted) alkyl, alkoxyalkyl; R3 = H, mono-, polyhydroxylated alkyl, etc.; Y = hydroxycarbamoyl, COZ; Z = (substituted) morpholino, etc.] useful as diagnostic, therapeutic, detoxification, imaging, or radiotherapy agents (no data), are prepared Thus, title compound II, prepared starting from 3-carboxamido-5-cyano-4-benzylmorpholine via 3,5-bis(aminomethyl)morpholine, was reacted with Gd2O3 in the presence of NaOH to give the 2Na salt of the Gd(III) chelate of II. Pharmaceutical formulations containing I salts and chelates are given.

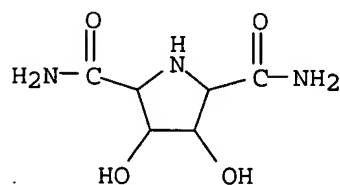
IT 131883-76-6P 131883-77-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of medical chelating agent)

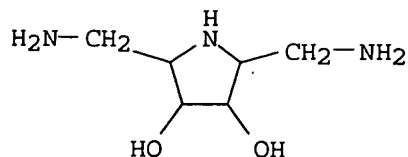
RN 131883-76-6 HCAPLUS

CN 2,5-Pyrrolidinedicarboxamide, 3,4-dihydroxy- (9CI) (CA INDEX NAME)



RN 131883-77-7 HCAPLUS

CN 3,4-Pyrrolidinediol, 2,5-bis(aminomethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl



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L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:66761 HCAPLUS

DOCUMENT NUMBER: 144:225594

TITLE: Novel five-membered iminocyclitol derivatives as selective and potent glycosidase inhibitors: New structures for antivirals and osteoarthritis

AUTHOR(S): Liang, Pi-Hui; Cheng, Wei-Chieh; Lee, Yi-Ling; Yu, Han-Pang; Wu, Ying-Ta; Lin, Yi-Ling; Wong, Chi-Huey

CORPORATE SOURCE: The Genomics Research Center and Institute of Biomedical Sciences, Taipei, 11529, Taiwan

SOURCE: ChemBioChem (2006), 7(11), 165-173

CODEN: CBCHFX; ISSN: 1439-4227

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel 5-membered iminocyclitol derivative was a potent and selective inhibitor of the glycoprotein-processing  $\alpha$ -glucosidase with a  $K_i$  value of 53 nM. This compound was further derivatized to antiviral agents against Japanese encephalitis virus, dengue virus serotype 2 (DEN-2), human SARS coronavirus, and human  $\beta$ -hexosaminidase ( $K_i$  = 2.6 nM), a new target for the development of osteoarthritis therapeutics.

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1060932 HCAPLUS

DOCUMENT NUMBER: 144:6996

TITLE: Stereoselective synthesis of (2S,3S,4R,5S)-5-methylpyrrolidine-3,4-diol derivatives that are highly selective  $\alpha$ -L-fucosidase inhibitors

AUTHOR(S): Moreno-Vargas, Antonio J.; Carmona, Ana T.; Mora, Federico; Vogel, Pierre; Robina, Inmaculada

CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Chemistry, University of Seville, Seville, E-41071, Spain

SOURCE: Chemical Communications (Cambridge, United Kingdom) (2005), (39), 4949-4951

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB N-Phenylaminomethyl benzimidazolyl moieties attached at C-2 of (2S,3S,4R,5S)-5-methylpyrrolidine-3,4-diol increase the potency and selectivity of the inhibitory activity of these systems towards  $\alpha$ -L-fucosidases.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:634317 HCAPLUS

DOCUMENT NUMBER: 141:314531

TITLE: Synthesis and high-throughput screening of N-acetyl- $\beta$ -hexosaminidase inhibitor libraries targeting osteoarthritis

AUTHOR(S): Liu, Junjie; Numa, Mehdi M. D.; Liu, Haitian; Huang, Shi-Jung; Sears, Pamela; Shikhman, Alexander R.; Wong, Chi-Huey

CORPORATE SOURCE: Department of Chemistry and the Skaggs Institute for

Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Journal of Organic Chemistry (2004), 69(19), 6273-6283  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB C1 Nitrogen iminocyclitols are potent inhibitors of N-acetyl- $\beta$ -hexosaminidases. Given hexosaminidases' important roles in osteoarthritis, we developed two straightforward and efficient syntheses of C1 nitrogen iminocyclitols from two readily available starting materials, D-mannosamine hydrochloride and the microbial oxidation product of fructose. A diversity-oriented synthetic strategy was then performed by coupling these core structures with various aldehydes, carboxylic acids, and alkynes to generate three sep. libraries. High-throughput screening of the generated libraries with human N-acetyl- $\beta$ -hexosaminidases produced only moderate inhibitory activities. However, the synthetic approach and screening strategy for these compds. will be applied to develop new potent inhibitors of human N-acetyl- $\beta$ -hexosaminidases, particularly when combined with the structural information of these enzymes.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:469769 HCAPLUS

DOCUMENT NUMBER: 141:291056

TITLE: Probing the aglycon binding site of a  $\beta$ -glucosidase: a collection of C-1-modified 2,5-dideoxy-2,5-imino-D-mannitol derivatives and their structure-activity relationships as competitive inhibitors

AUTHOR(S): Wrodnigg, Tanja M.; Diness, Frederik; Gruber, Christoph; Hausler, Herwig; Lundt, Inge; Rupitz, Karen; Steiner, Andreas J.; Stutz, Arnold E.; Tarling, Chris A.; Withers, Stephen G.; Wolfler, Heidrun

CORPORATE SOURCE: Glycogroup, Institut fuer Organische Chemie, Technische Universitaet Graz, Graz, A-8010, Austria

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(13), 3485-3495

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:291056

AB A range of new C-1 modified derivs. of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol has been synthesized and their biol. activities probed with the  $\beta$ -glucosidase from Agrobacterium sp.  $K_i$  values are compared with those of previously prepared close relatives. Findings suggest dramatic effects exerted by the aglycon binding site on substrate/inhibitor binding.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

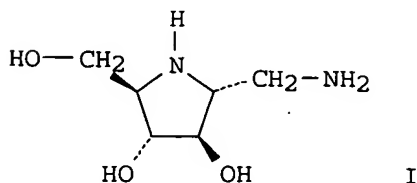
ACCESSION NUMBER: 2004:400378 HCAPLUS

DOCUMENT NUMBER: 141:38786

TITLE: Syntheses and glycosidase inhibitory activities of 2-(aminomethyl)-5-(hydroxymethyl)pyrrolidine-3,4-diol

derivatives  
 AUTHOR(S): Popowycz, Florence; Gerber-Lemaire, Sandrine; Schutz, Catherine; Vogel, Pierre  
 CORPORATE SOURCE: Institute of Chemical Sciences and Engineering, Swiss Federal Institute of Technology, EPFL-BCH, Lausanne, CH-1015, Switz.  
 SOURCE: Helvetica Chimica Acta (2004), 87(4), 800-810  
 CODEN: HCACAV; ISSN: 0018-019X  
 PUBLISHER: Verlag Helvetica Chimica Acta  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 141:38786  
 AB New 2-(aminomethyl)-5-(hydroxymethyl)pyrrolidine-3,4-diol derivs. were synthesized from (5S)-5-[(trityloxy)methyl]pyrrolidin-2-one and their inhibitory activities toward glycosidases were evaluated. The influence of the configuration of the pyrrolidine ring on glycosidase inhibition was evaluated. (2R,3R,4S,5R)-2-[(benzylamino)methyl]-5-(hydroxymethyl)pyrrolidine-3,4-diol was a good and selective inhibitor of  $\alpha$ -mannosidase from jack bean ( $K_i = 1.2 \mu\text{M}$ ) and from almond ( $K_i = 1.0 \mu\text{M}$ ). Selectivity was lost for the non-benzylated derivative (2R,3R,4S,5R)-2-(aminomethyl)-5-(hydroxy-ethyl)pyrrolidine-3,4-diol which inhibited  $\alpha$ -galactosidases,  $\beta$ -galactosidases,  $\beta$ -glucosidases, and  $\alpha$ -N-acetylgalactosaminidase as well.  
 REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:330838 HCAPLUS  
 DOCUMENT NUMBER: 135:122363  
 TITLE: Powerful probes for glycosidases novel, fluorescently tagged glycosidase inhibitors  
 AUTHOR(S): Hermetter, Albin; Scholze, Hubert; Stutz, Arnold E.; Withers, Stephen G.; Wrodnigg, Tanja M.  
 CORPORATE SOURCE: Institut fur Biochemie der Technischen Universitat Graz, Graz, A-8010, Austria  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(10), 1339-1342  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 135:122363  
 GI



AB 1-Amino-1,2,5-trideoxy-2,5-imino-D-mannitol(I) was fluorescently tagged by reaction with dansyl chloride at N-1 or by attachment of a dansyl amide bearing spacer to this center. Compds. obtained are highly potent inhibitors of  $\beta$ -glucosidase exhibiting  $K_i$  values in the single figure nanomolar range. The 1-N-dansyl substituted inhibitor was successfully

exploited for binding studies with  $\beta$ -glucosidase from *Agrobacterium* sp. employing fluorescence spectrometric methods.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:279046 HCAPLUS

DOCUMENT NUMBER: 135:133923

TITLE: Novel, lipophilic derivatives of 2,5-dideoxy-2,5-imino-D-mannitol (DMDP) are powerful  $\beta$ -glucosidase inhibitors

AUTHOR(S): Wrodnigg, T. M.; Withers, S. G.; Stutz, A. E.

CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(8), 1063-1064

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:133923

AB Novel derivs. of the d-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol bearing lipophilic aliphatic or aromatic amides attached to C-1 have been found to inhibit  $\beta$ -glucosidase from *Agrobacterium* sp. in the nanomolar range. One of them, a coumarin derivative, ranks amongst the most active compds. in the class of reversible glycosidase inhibitors of the iminoalditol type. Novel 1-N-acyl and 1-N-sulfonyl derivs. of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol exhibiting  $K_i$  values in the nanomolar range are reported.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:787884 HCAPLUS

DOCUMENT NUMBER: 134:71810

TITLE: Biologically active 1-aminodeoxy and 1-O-alkyl derivatives of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol

AUTHOR(S): Wrodnigg, Tanja M.; Gaderbauer, Walter; Greimel, Peter; Hausler, Herwig; Sprenger, Friedrich K.; Stutz, Arnold E.; Virgona, Chris; Withers, Stephen G.

CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria

SOURCE: Journal of Carbohydrate Chemistry (2000), 19(8), 975-990

CODEN: JCACDM; ISSN: 0732-8303

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:71810

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-(N,N-dibenzyl)amino-1,5-dideoxy-D-fructopyranose, 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and in excellent overall yield. Likewise, other amines were employed to introduce extended side chains ultimately suitable for attachment of the inhibitor to solid supports. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring

enlargement of the aldofuranose to the ketopyranose as an addnl. driving force. A range of selected chain extended analogs was prepared by acylation of N-1. Inhibitors obtained exhibit  $K_i$ -values with D-glucosidases in the micromolar range. Interestingly, 1-N-acylation resulted in superior inhibitory activities, as did the addition of a hexyl chain.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:125861 HCAPLUS

DOCUMENT NUMBER: 132:237302

TITLE: Synthesis and evaluation as glycosidase inhibitors of 2,5-imino-D-glucitol and 1,5-imino-D-mannitol related derivatives

AUTHOR(S): McCort, Isabelle; Fort, Sebastien; Dureault, Annie; Depeyay, Jean-Claude

CORPORATE SOURCE: Universite Rene Descartes, Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques, associe au CNRS, Paris, 75270, Fr.

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 135-143  
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Selectively functionalized 2,5-imino-D-glucitol and 1,5-imino-D-mannitol derivs. were synthesized and tested as precursors of hydrolytically resistant pseudo-disaccharides. Among them N-acetyl-6-amino-6-deoxy-2,5-imino-D-glucitol and N-acetyl-6-amino-6-deoxy-1,5-imino-D-mannitol were found to be potent and specific inhibitors against  $\beta$ -D-glucosidase and  $\alpha$ -L-fucosidase, resp.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:750948 HCAPLUS

DOCUMENT NUMBER: 132:166484

TITLE: An approach to combinatorial library generation of galactofuranose mimics as potential inhibitors of mycobacterial cell wall biosynthesis: synthesis of a peptidomimetic of uridine 5'-diphosphogalactofuranose (UDP-galf)

AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Pickering, Lea; Fleet, George W. J.

CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford Center for Molecular Sciences, Oxford, OX1 3QY, UK

SOURCE: Tetrahedron Letters (1999), 40(49), 8689-8692  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An approach to the synthesis of amide libraries based upon an  $\alpha$ -iminogalactofuranose template as potential inhibitors of mycobacterial cell wall biosynthesis is described. The synthesis of peptide analogs of uridine 5'-phosphogalactofuranose (UDP-Galf) is also described.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:358812 HCAPLUS  
 DOCUMENT NUMBER: 129:136393  
 TITLE: Synthesis of ester- and amide-linked pseudo-azadisaccharides via coupling of D-glucose with 6-amino-6-deoxy-2,5-imino-D-glucitol  
 AUTHOR(S): McCort, Isabelle; Dureault, Annie; Depezay, Jean-Claude  
 CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques associe au CNRS, Universite Rene Descartes, Paris, 75270, Fr.  
 SOURCE: Tetrahedron Letters (1998), 39(25), 4463-4466  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Hydrolytically-resistant pseudodisaccharides incorporating an azafuranose have been prepared by coupling 6-amino-2,5-imino-D-glucitol derivs. with D-glucose, either through an ester or an amide bond. Synthesis of the azasugar templates was achieved by nucleophilic opening of a C2 sym. bis-aziridine deriving from D-mannitol.  
 REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

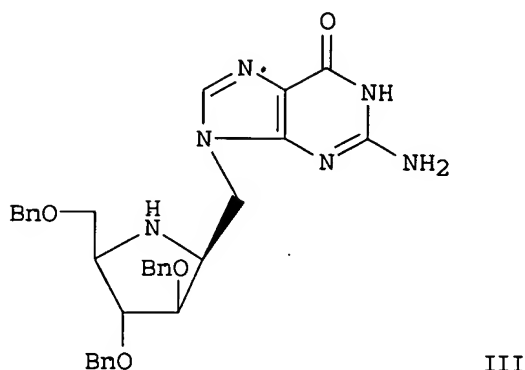
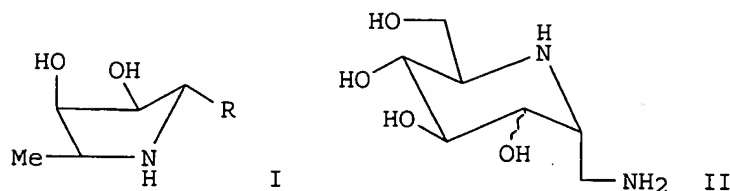
L4 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:530913 HCAPLUS  
 DOCUMENT NUMBER: 127:190944  
 TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose  
 AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.  
 CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria  
 SOURCE: Tetrahedron Letters (1997), 38(31), 5463-5466  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.  
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:433855 HCAPLUS  
 DOCUMENT NUMBER: 122:291430  
 TITLE: Synthesis and Evaluation of Homoaza Sugars as Glycosidase Inhibitors  
 AUTHOR(S): Wong, Chi-Huey; Provencher, Louis; Porco, John A.,

Jr.; Jung, Sang-Hun; Wang, Yi-Fong; Chen, Lihren;  
Wang, Ruo; Steensma, Darryl H.  
CORPORATE SOURCE: Department of Chemistry, Scripps Research Institute,  
La Jolla, CA, 92037, USA  
SOURCE: Journal of Organic Chemistry (1995), 60(6), 1492-501  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB In an effort to develop transition-state mimetics of the glycosidase-catalyzed reaction, five- and six-membered azasugars and their homo-analogs were prepared and tested as inhibitors of glycosidases. Inhibition studies indicate that the fucosyl cation-like, five-membered imine and its reduced form I (R = H) are potent inhibitors of  $\alpha$ -fucosidase from bovine kidney with resp.  $K_i$  values of 160 nM and 2  $\mu$ M. The five-membered homoaminoaza sugar I (R = CH<sub>2</sub>NH<sub>2</sub>) is also a potent inhibitor of the enzyme ( $K_i = 1.9 \times 10^{-6}$  M), while the glucose and mannose-like six-membered homoaminoaza sugars II are less potent than the corresponding 1-deoxyaza sugars as inhibitors of  $\alpha$ -glucosidase and  $\alpha$ -mannosidase, resp. The primary amino group was placed in an attempt to introduce addnl. electrostatic interactions in the active site. The inhibitory activities are, however, in the high  $\mu$ M range. Synthesis of homoaza sugars structurally related to a disaccharide and a nucleoside III is also described.

L4 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:101739 HCAPLUS

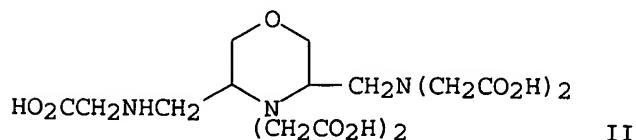
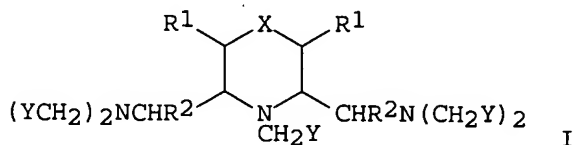
DOCUMENT NUMBER: 114:101739

TITLE: Preparation of heterocyclic medical chelating agents and chelates

INVENTOR(S): Almen, Torsten; Berg, Arne; Dugstad, Harald;  
 Klaveness, Jo; Krautwurst, Klaus Dieter; Rongved, Pal  
 PATENT ASSIGNEE(S): Cockbain, Julian Roderick Michaelson, UK; Nycomed A/S  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9008138	A1	19900726	WO 1990-EP79	19900115
W: AU, CA, FI, GB, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2045539	AA	19900714	CA 1990-2045539	19900115
AU 9049573	A1	19900813	AU 1990-49573	19900115
AU 646795	B2	19940310		
EP 452392	A1	19911023	EP 1990-901813	19900115
EP 452392	B1	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04502619	T2	19920514	JP 1990-502171	19900115
JP 2953670	B2	19990927		
AT 121079	E	19950415	AT 1990-901813	19900115
ES 2071089	T3	19950616	ES 1990-901813	19900115
NO 9102749	A	19910712	NO 1991-2749	19910712
NO 177783	B	19950814		
NO 177783	C	19951122		
FI 96416	B	19960315	FI 1991-3388	19910712
FI 96416	C	19960625		
US 5348954	A	19940920	US 1991-690975	19910724
US 5439668	A	19950808	US 1994-235882	19940502
PRIORITY APPLN. INFO.:				
				GB 1989-719 A 19890113
				WO 1990-EP79 A 19900115
				US 1991-690975 A3 19910724

OTHER SOURCE(S): MARPAT 114:101739  
 GI



AB Title compds. I [X = bond, O, S, R1HC, R3N, R1, R2 = H, (substituted) alkyl, alkoxyalkyl; R3 = H, mono-, polyhydroxylated alkyl, etc.; Y = hydroxycarbamoyl, COZ; Z = (substituted) morpholino, etc.] useful as diagnostic, therapeutic, detoxification, imaging, or radiotherapy agents (no data), are prepared Thus, title compound II, prepared starting from 3-carboxamido-5-cyano-4-benzylmorpholine via 3,5-



bis(aminomethyl)morpholine, was reacted with Gd2O3 in the presence of NaOH to give the 2Na salt of the Gd(III) chelate of II. Pharmaceutical formulations containing I salts and chelates are given.

=> d his

(FILE 'HOME' ENTERED AT 12:33:56 ON 14 MAY 2006)

FILE 'REGISTRY' ENTERED AT 12:34:07 ON 14 MAY 2006

L1 STRUCTURE UPLOADED  
L2 1 S L1  
L3 21 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:34:34 ON 14 MAY 2006

L4 14 S L3  
L5 9 S L4 AND PY<=2001

=> s l4 and azasugar

122 AZASUGAR  
~~111 AZASUGARS~~  
187 AZASUGAR  
(AZASUGAR OR AZASUGARS)  
2 L4 AND AZASUGAR

L6

=> d l6 ibib abs hitstr tot

L6 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:358812 HCAPLUS

DOCUMENT NUMBER: 129:136393

TITLE: Synthesis of ester- and amide-linked pseudo-azadisaccharides via coupling of D-glucose with 6-amino-6-deoxy-2,5-imino-D-glucitol

AUTHOR(S): McCort, Isabelle; Dureault, Annie; Depezay, Jean-Claude

CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques associe au CNRS, Universite Rene Descartes, Paris, 75270, Fr.

SOURCE: Tetrahedron Letters (1998), 39(25), 4463-4466

CODEN: TELEAY; ISSN: ~~0040-4039~~

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Hydrolytically-resistant pseudodisaccharides incorporating an azafuranose have been prepared by coupling 6-amino-2,5-imino-D-glucitol derivs. with D-glucose, either through an ester or an amide bond. Synthesis of the **azasugar** templates was achieved by nucleophilic opening of a C2 sym. bis-aziridine deriving from D-mannitol.

IT 210479-79-1P 210479-81-5P 210479-83-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of ester- and amide-linked pseudoazadisaccharides via coupling of glucose with aminodeoxyiminoglucitol)

RN 210479-79-1 HCAPLUS

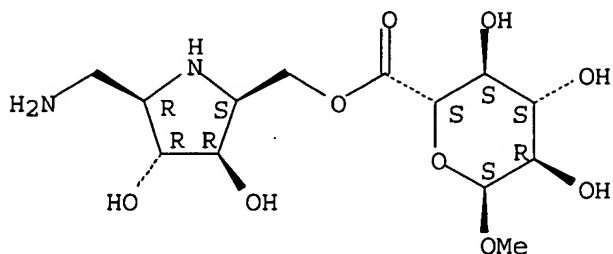
CN  $\alpha$ -D-Glucopyranosiduronic acid, methyl, [(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

05/14/2006 10726550b.trn

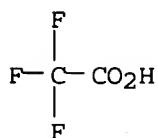
CRN 210479-78-0  
CMF C13 H24 N2 O9

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

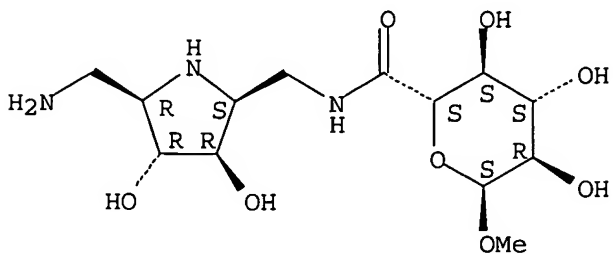


RN 210479-81-5 HCAPLUS  
CN  $\alpha$ -D-Glucopyranosiduronamide, methyl N-[[[(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

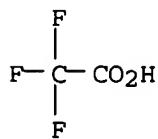
CRN 210479-80-4  
CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

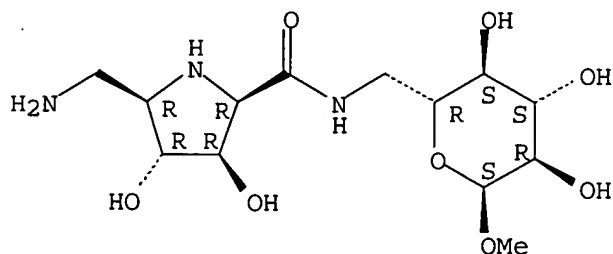


RN 210479-83-7 HCAPLUS  
 CN  $\alpha$ -D-Glucopyranoside, methyl 6-[[[(2R,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]-6-deoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

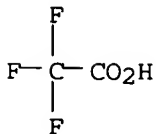
CRN 210479-82-6  
 CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1995:433855 HCAPLUS  
 DOCUMENT NUMBER: 122:291430  
 TITLE: Synthesis and Evaluation of Homooza Sugars as Glycosidase Inhibitors  
 AUTHOR(S): Wong, Chi-Huey; Provencher, Louis; Porco, John A., Jr.; Jung, Sang-Hun; Wang, Yi-Fong; Chen, Lihren; Wang, Ruo; Steensma, Darryl H.  
 CORPORATE SOURCE: Department of Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA  
 SOURCE: Journal of Organic Chemistry (1995), 60(6), 1492-501

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER:

American Chemical Society

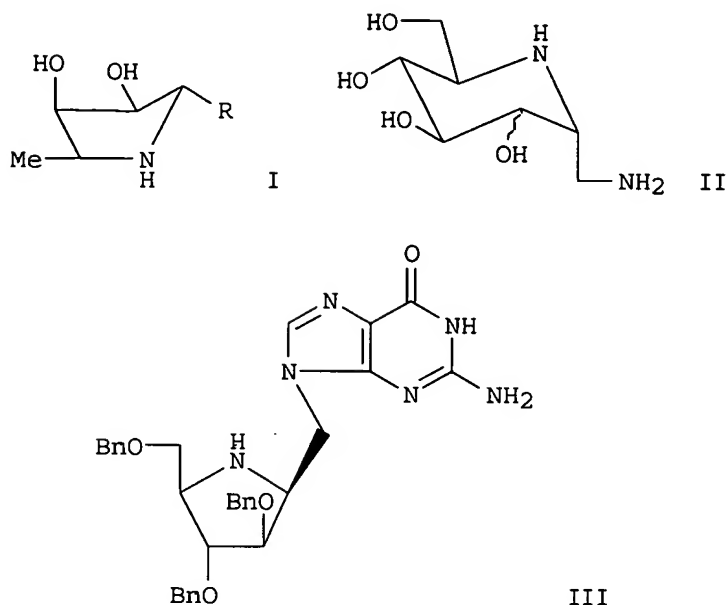
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



AB In an effort to develop transition-state mimetics of the glycosidase-catalyzed reaction, five- and six-membered **azasugars** and their homo-analogs were prepared and tested as inhibitors of glycosidases. Inhibition studies indicate that the fucosyl cation-like, five-membered imine and its reduced form I ( $R = H$ ) are potent inhibitors of  $\alpha$ -fucosidase from bovine kidney with resp.  $K_i$  values of 160 nM and 2  $\mu$ M. The five-membered homoaminoaza sugar I ( $R = CH_2NH_2$ ) is also a potent inhibitor of the enzyme ( $K_i = 1.9 \times 10^{-6}$  M), while the glucose and mannose-like six-membered homoaminoaza sugars II are less potent than the corresponding 1-deoxyaza sugars as inhibitors of  $\alpha$ -glucosidase and  $\alpha$ -mannosidase, resp. The primary amino group was placed in an attempt to introduce addnl. electrostatic interactions in the active site. The inhibitory activities are, however, in the high  $\mu$ M range. Synthesis of homoaza sugars structurally related to a disaccharide and a nucleoside III is also described.

IT 162895-60-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and evaluation of homoaza sugars as glycosidase inhibitors)

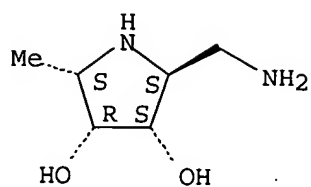
RN 162895-60-5 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-methyl-, monohydrochloride, [2S-(2 $\alpha$ ,3 $\beta$ ,4 $\beta$ ,5 $\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

05/14/2006

10726550b.trn



● HCl

=> log y

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE

ENTRY

107.22

SINCE FILE

ENTRY

-18.75

TOTAL

SESSION

274.37

TOTAL

SESSION

-18.75

STN INTERNATIONAL LOGOFF AT 12:37:47 ON 14 MAY 2006